

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
26 May 2005 (26.05.2005)

PCT

(10) International Publication Number  
**WO 2005/046608 A3**

(51) International Patent Classification<sup>7</sup>: **A61K 31/4738**,  
C07D 491/22

(21) International Application Number:  
PCT/US2004/037626

(22) International Filing Date:  
12 November 2004 (12.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/519,160 12 November 2003 (12.11.2003) US  
60/524,574 24 November 2003 (24.11.2003) US

(71) Applicant (for all designated States except US):  
**SMITHKLINE BEECHAM CORPORATION**  
[US/US]; One Franklin Plaza, P.O. Box 7929, Philadel-  
phia, PA 19101 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **DELL'ORCO**,  
**Philip, C.** [US/US]; 709 Swedeland Road, King of Prus-  
sia, PA 19406 (US). **DIEDERICH, Ann Marie** [US/US];  
709 Swedeland Road, King of Prussia, PA 19406 (US).  
**SU, Qiaogang** [US/US]; 709 Swedeland Road, King of  
Prussia, PA 19406 (US). **WOOD, Jeffrey, Lee** [US/US];  
709 Swedeland Road, King of Prussia, PA 19406 (US).

(74) Agents: **SIEBURTH, Kathryn, L.** et al.; GlaxoSmithK-  
line, Corporate Intellectual Property, UW 2220, 709  
Swedeland Road, P.O. Box 1539, King of Prussia, PA  
19406-0939 (US).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

— as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,  
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,  
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,  
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,  
SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI  
patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG)

— as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii)) for the following desig-  
nations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW,  
BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ,  
EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,  
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,  
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ,  
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,  
ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ,  
NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT,  
BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,  
HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR),  
OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG)

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report

(88) Date of publication of the international search report:  
3 November 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: NOVEL COMPOUND, CORRESPONDING COMPOSITIONS, PREPARATION AND/OR TREATMENT METHODS

(57) Abstract: Disclosed is a novel crystalline form of topotecan monohydrochloride pentahydrate, which is a pentahydrate of 10-[(dimethylamino)methyl]-4-ethyl-4,9-dihydroxy-1H-pyran[3',4': 6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)dione monohy-  
drochloride, corresponding pharmaceutical compositions, methods preparation and/or use thereof to treat anti-viral and/or cancer-re-  
lated diseases.

WO 2005/046608 A3